STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 105766

TO:	From:
David Lukton Location: CM-1/9B05/9B01 Art Unit: 1653 Friday, October 10, 2003 Case Serial Number: 09/913538	Noble Jarrell Location: Biotech/Chem Library CM1-6B03 87 Phone: 305-7843 Noble.jarrell@uspto.gov

Search Notes

Access DB# 105766

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: David Lukton Examiner # : 71263 Date: 10-09-03

Art Unit: 1653 Phone Number 30 8-3213 Serial Number: 09-913538

Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK E-MAIL

Mail Box: 9B01 ; Exr Rm 9B05

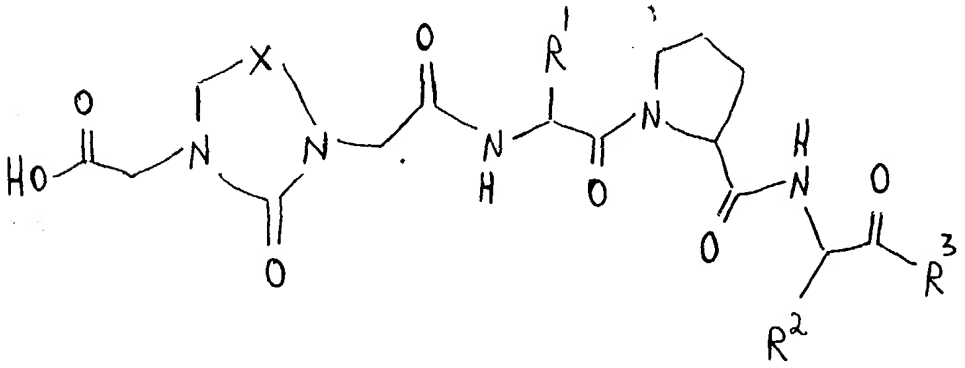
If more search is submitted, please prioritize searches in order of need.

Title of Invention: Heterocyclic Compounds, Intermediates Thereof and Elastase Inhibitors

Applicants: SATO, FUMINORI; INOUE, YASUNAO; OMODANI, TOMOKI; SHIRATAKE, RYOTARO; HONDA, SEIJI; KOMIYA, MASANOBU; TAKEMURA, TADASHI

Earliest Priority Date: 3/3/99

* * * *

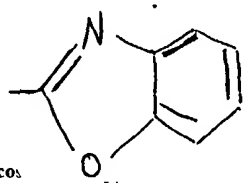


X = $-CH_2-$ or $-CO-$

R^1 = alkyl

R^2 = alkyl

R^3 = $-CF_3$ or $-CCl_3$ or hydrogen or $-CH_2Br$ or



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STAFF USE ONLY

Searcher: Noble Jurrell/P. Shapiro

Searcher Phone #: 305-746-3058743

Searcher Location:

Date Searcher Picked Up:

Date Completed: Oct 10, 2003

Searcher Prep & Review Time:

Clerical Prep Time:

Online Time:

Type of Search		Vendors and cos
NA Sequence (#)		STN
AA Sequence (#)		Dialog
Structure (#)		Questel/Orbit
Bibliographic		Dr.Link
Litigation		Lexis/Nexis
Fulltext		Sequence Systems
Patent Family		WWW/Internet
Other		Other (specify)

PTO-1590 (8-01)

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     4.51     312.13

FILE 'HCAPLUS' ENTERED AT 14:21:30 ON 10 OCT 2003
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FILE COVERS 1907 - 10 Oct 2003  VOL 139 ISS 16
FILE LAST UPDATED: 9 Oct 2003  (20031009/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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L3                STR
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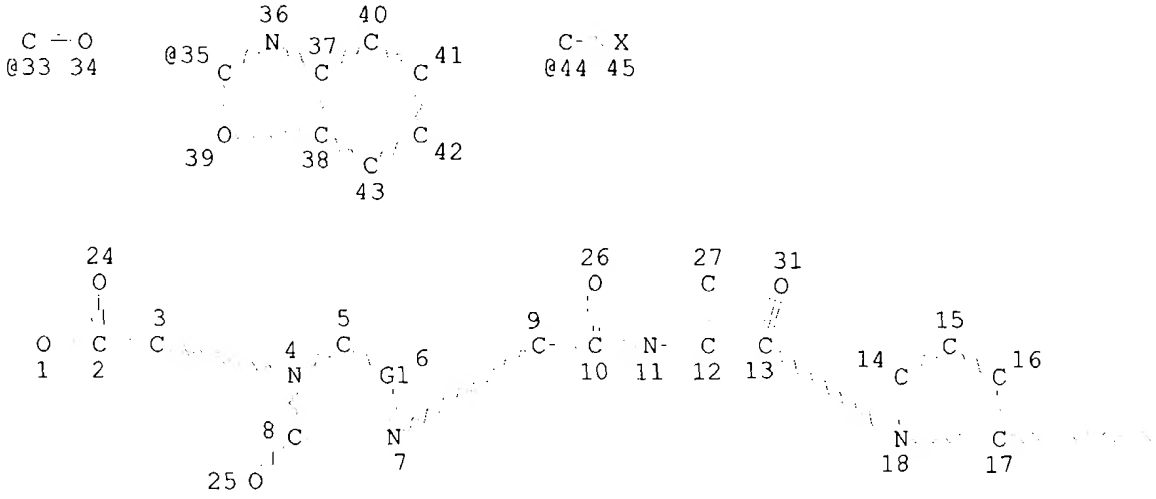
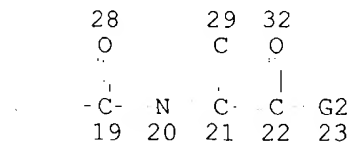


Page 1-A



Page 1-B
VAR G1=CH2/33

VAR G2=44/35/H
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L6 16 SEA FILE=REGISTRY SSS FUL L3
L10 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L6

=> d ibib abs hitrn 1-4

L10 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:644386 HCAPLUS
DOCUMENT NUMBER: 139:191421
TITLE: Pharmaceuticals, chymase inhibitors, and inhibitors for increased vascular permeability, containing pyrrolidine-containing peptides
INVENTOR(S): Deguchi, Takashi; Shiratake, Ryotaro; Sato, Fuminori; Fujitani, Takekazu; Honda, Yayoi; Kiyoshi, Akihiko; Notake, Mitsue; Showell, Graham Andrew; Boyle, Robert George; Klair, Sukhbinder Singh
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003231645	A2	20030819	JP 2002-29496	20020206

PRIORITY APPLN. INFO.: JP 2002-29496 20020206
OTHER SOURCE(S): MARPAT 139:191421
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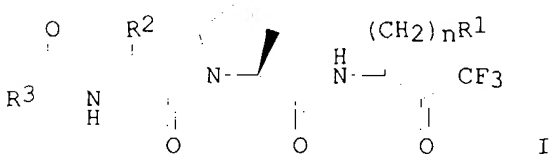

AB The pharmaceuticals, for treatment of allergy, inflammation, etc., contain pyrrolidine-contg. peptides I [R1 = cycloalkyl, naphthyl, thienyl, etc.; R2 = H, alkyl, etc.; R3 = (un)substituted unsatd. monocyclic heterocyclyl, (un)substituted (un)satd. monocyclic heterocycle fused to benzene ring or pyridine ring, N-heterocyclyl-lower alkyl; n = 0-3] or their physiol. acceptable salts. N-[(1S)-2-[(2S)-2-[N-[(1S)-1-(benzo[b]thiophen-3-ylmethyl)-3,3,3-trifluoro-2-oxopropyl]carbamoyl]pyrrolidinyl]-1-

(methylethyl)-2-oxoethyl](3,5-dimethylisoxazol-4-yl)carboxamide (II) (prepn. given) inhibited monkey chymase and human chymase with IC50 of 3.8 and 55 nM, resp. II (at 100 mg/kg p.o.) showed 34% inhibition of chymase-induced leakage of Evans Blue dye from the vein of guinea pigs. The concn. of II in plasma of mice 1 h after oral administration of a suspension contg. II at 1 mg/mL was 0.48 .mu.g/mL. II (at 300 mg/kg p.o. once a day for 2 wk) showed no toxicity in mice. Oral and topical formulation examples are given.

IT **402733-08-8P 402733-09-9P 402733-10-2P**
402733-11-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolidine-contg. tripeptides for pharmaceuticals which inhibit chymase and increased vascular permeability)

L10 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:359856 HCAPLUS
DOCUMENT NUMBER: 136:369997
TITLE: Pharmaceuticals containing heterocyclyl group-containing prolines as water-soluble inhibitors of human neutrophil elastase
INVENTOR(S): Sato, Fuminori; Inoue, Yasuharu; Omotani, Tomoki; Shiratake, Ryotaro; Honda, Seiji; Komiya, Masanobu; Takemura, Tadashi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002138048	A2	20020514	JP 2001-251265	20010822

PRIORITY APPLN. INFO.: JP 2000-254746 A 20000825
OTHER SOURCE(S): MARPAT 136:369997
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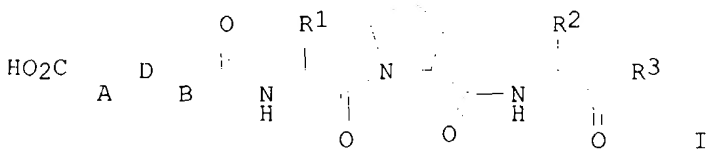

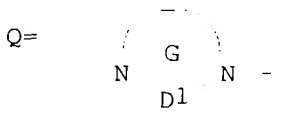

AB Title compds. I [A, B = (oxo-substituted) lower alkylene D = Q; D1 = (oxo-substituted) CH2, (oxo-substituted) CH2CH2; the ring G = 5- to

14-membered monocyclic (un)satd. (un)substituted heterocycle reside (having addnl. N, O, and/or S); R1, R2 = lower alkyl; R3 = (CX1X2)n(CH2)mY1; X1, X2 = halo; Y1 = H, halo, lower alkoxycarbonyl, lower alkylaminocarbonyl, etc.] or their physiol. acceptable salts are prepd. and are esp. useful for therapeutic and prophylactic treatment of acute lung disease, e.g. emphysema and acute respiratory distress syndrome. Thus, condensation of 2-[(3-tert-butoxycarbonylmethyl-2-oxo-1-imidazolidinyl)]acetic acid with L-valyl-N-[(1S,2S)-(3,3,3-trifluoro-1-isopropyl-2-hydroxypropyl)]-L-prolinamide HCl salt gave the corresponding amide, which was oxidized with Dess-Martin reagent and deprotected to afford 2-(3-carboxymethyl-2-oxo-1-imidazolidinyl)acetyl-L-valyl-N-[(1S)-3,3,3-trifluoro-1-isopropyl-2-oxopropyl]-L-prolinamide. The product inhibited human neutrophil elastase at IC50 value of 0.010 .mu.M and showed much better water soly. than ONO-5046.

IT **291778-77-3P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl group-contg. prolines as water-sol. inhibitors of human neutrophil elastase)

IT **291778-87-5P 291778-99-9P 291779-01-6P**
291779-07-2P 291779-09-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl group-contg. prolines as water-sol. inhibitors of human neutrophil elastase)

IT **291778-76-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclyl group-contg. prolines as water-sol. inhibitors of human neutrophil elastase)

IT **291778-88-6P 291779-00-5P 291779-02-7P**
291779-08-3P 291779-10-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl group-contg. prolines as water-sol. inhibitors of human neutrophil elastase)

L10 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:171894 HCAPLUS
DOCUMENT NUMBER: 136:217051
TITLE: Preparation of proline derivatives for use as chymase inhibitor
INVENTOR(S): Deguchi, Takashi; Shiratake, Ryotaro; Sato, Fuminori; Fujitani, Buichi; Honda, Yayoi; Kiyoshi, Akihiko; Notake, Mitsue; Showell, Graham Andrew; Boyle, Robert George; Klair, Sukhbinder Singh
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018378	A1	20020307	WO 2001-JP7137	20010821

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001078782	A5	20020313	AU 2001-78782	20010821
EP 1313730	A1	20030528	EP 2001-956986	20010821

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:	GB 2000-21315	A 20000830
	WO 2001-JP7137	W 20010821

OTHER SOURCE(S): MARPAT 136:217051
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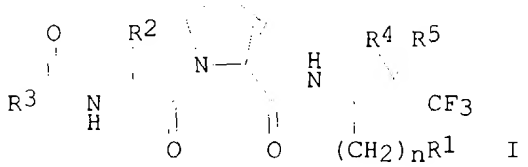

I

AB Novel pyrrolidine derivs. I [R1 = cycloalkyl, Ph, naphthyl, tetrahydronaphthyl, indanyl, thienyl, furyl, indolyl, dihydroindolyl, benzofuryl, dihydrobenzofuryl, benzothienyl or an S-mono- or dioxide, or dihydrobenzothienyl, where the Ph, naphthyl and benzothienyl groups may have 1-3 substituents and the indolyl and dihydroindolyl groups may be N-substituted; R2 = H, alkyl, phenylalkyl, cycloalkyl, or cycloalkylalkyl; R3 is an (un)substituted monocyclic heterocyclic group, benzene- or pyridine-fused heterocyclic group, etc.; R4, R5 = H or OH, but both are not simultaneously H or both form oxo; n is 0-3] or their salts were prepd. as chymase inhibitors. Thus, N-[(1S)-2-[(2S)-2-[N-[(1S)-1-(benzo[b]thiophen-3-ylmethyl)-3,3,3-trifluoro-2-oxopropyl]carbamoyl]pyrrolidinyl]-1-(methylethyl)-2-oxoethyl](3,5-dimethylisoxazol-4-yl)carboxamide was prepd. via coupling reactions of (2S,3S)-3-amino-4-[benzo[b]thiophen-3-yl]-1,1,1-trifluoro-2-butanol hydrochloride, N-(tert-butoxycarbonyl)-L-valyl-L-proline, and 3,5-dimethyl-4-isoxazolecarboxylic acid and showed IC50 = 3.8 and 55 nM for inhibition of monkey or human chymase (in vitro assay).

IT **402733-08-8P 402733-09-9P 402733-10-2P**
402733-11-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of proline derivs. for use as chymase inhibitors)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:628158 HCAPLUS
DOCUMENT NUMBER: 133:223051
TITLE: Preparation of proline-containing peptides,

	intermediates thereof, and elastase inhibitors
INVENTOR(S):	Sato, Fuminori; Inoue, Yasunao; Omodani, Tomoki; Shiratake, Ryotaro; Honda, Seiji; Komiya, Masanobu; Takemura, Tadashi
PATENT ASSIGNEE(S):	Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 65 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000052032	A1	20000908	WO 2000-JP1022	20000223
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000256396	A2	20000919	JP 1999-56052	19990303
EP 1157998	A1	20011128	EP 2000-905282	20000223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008600	A	20011226	BR 2000-8600	20000223
AU 758739	B2	20030327	AU 2000-26902	20000223
AU 2000026902	A5	20000921		
NZ 513594	A	20030429	NZ 2000-513594	20000223
ZA 2001006514	A	20020510	ZA 2001-6514	20010808

PRIORITY APPLN. INFO.:		JP 1999-56052	A	19990303
		WO 2000-JP1022	W	20000223

OTHER SOURCE(S): MARPAT 133:223051

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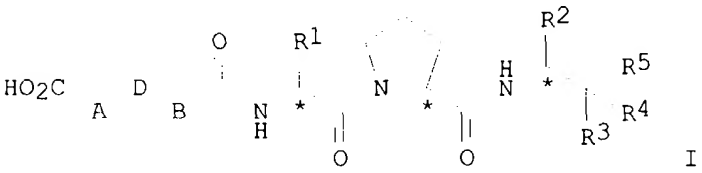

I

AB Heterocyclic compds. represented by general formula [I; A, B = optionally oxo-substituted lower alkyl; D = mono- or bicyclic heterocyclic group Q; wherein D1 = optionally oxo-substituted CH2 or CH2CH2; ring G = (un)substituted 5-14 membered mono- or bicyclic (un)satd. heterocyclic ring; R1, R2 = lower alkyl; R3, R4 = H or OH, or R1 and R2 together represents oxo; R5 = (CX1X2)n(CH2)mY1; wherein X1, X2 = halo; Y1 = H,

halo, lower alkoxycarbonyl, lower alkylaminocarbonyl, aralkylaminocarbonyl, aralkyloxycarbonyl, etc.], its esters or salts thereof are prepd. Also claimed is human neutrophilic elastase inhibitors contg. I as the active ingredient. Thus, oxidn. of 2-(3-tert-butoxycarbonylmethyl-2-oxo-1-imidazolidinyl)acetyl-L-valyl-N-[(1S,2S)-3,3,3-trifluoro-1-isopropyl-2-hydroxypropyl]-L-prolinamide with Dess-Martin reagent in CH2Cl2 at room temp. for 1 h, followed by treatment with CF3CO2H gave the title compd. (II; R = Q1, R5 = CF3) (III). III and II (R = Q2, R5 = benzoxazol-2-yl) showed IC50 of 0.010 and 0.005 .mu.g/mL against human neutrophilic elastase, resp. Pharmaceutical formulations contg. I were also prepd.

IT **291778-77-3P 291778-87-5P 291778-99-9P**
291779-01-6P 291779-07-2P 291779-09-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of proline-contg. peptides, intermediates thereof, and elastase inhibitors)

IT **291778-76-2P 291778-88-6P 291779-00-5P**
291779-02-7P 291779-08-3P 291779-10-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of proline-contg. peptides, intermediates thereof, and elastase inhibitors)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	22.15	334.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.60	-2.60

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGIstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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L11 0 L6

=> b reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	334.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.60

FILE 'REGISTRY' ENTERED AT 14:24:48 ON 10 OCT 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 OCT 2003 HIGHEST RN 601453-92-3
DICTIONARY FILE UPDATES: 8 OCT 2003 HIGHEST RN 601453-92-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

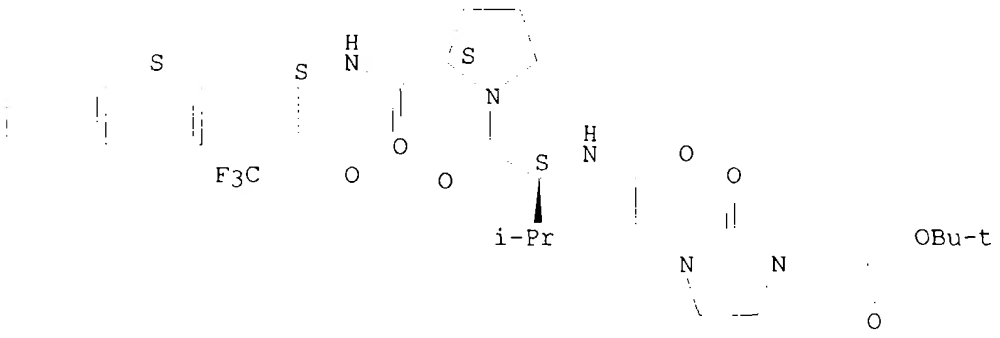
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d ide can 16 tot

L6 ANSWER 1 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 402733-11-3 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(benzo[b]thien-2-ylmethyl)-3,3,3-trifluoro-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H42 F3 N5 O7 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

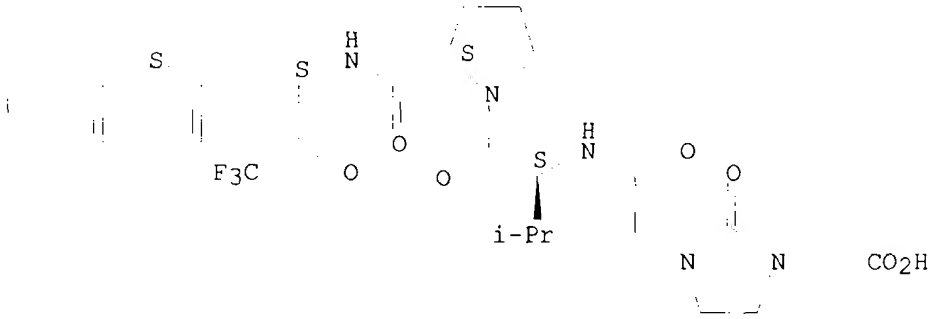
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:191421

REFERENCE 2: 136:217051

L6 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 402733-10-2 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(benzo[b]thien-2-ylmethyl)-3,3,3-trifluoro-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H34 F3 N5 O7 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

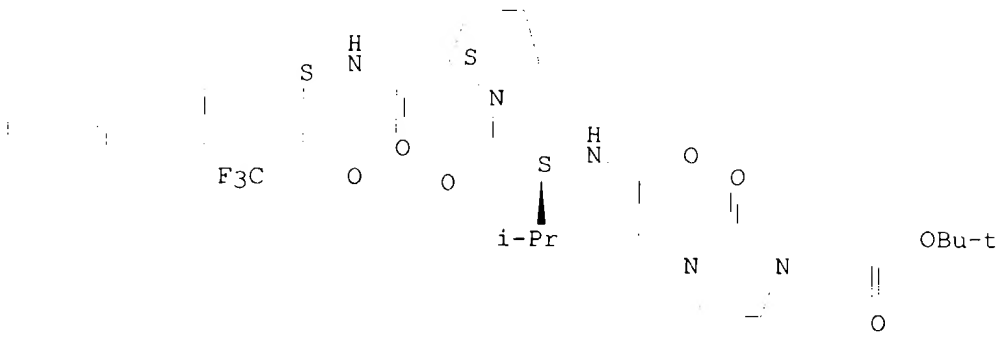
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:191421

REFERENCE 2: 136:217051

L6 ANSWER 3 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 402733-09-9 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-3,3,3-trifluoro-1-(2-naphthalenylmethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H44 F3 N5 O7
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

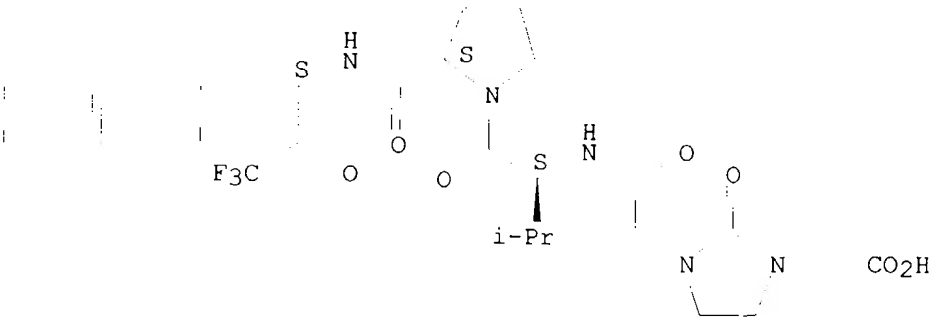
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:191421

REFERENCE 2: 136:217051

L6 ANSWER 4 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 402733-08-8 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-3,3,3-trifluoro-1-(2-naphthalenylmethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H36 F3 N5 O7
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

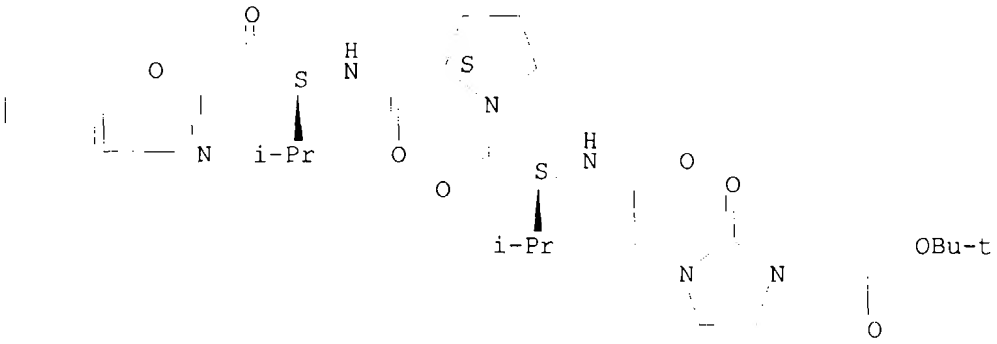
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:191421

REFERENCE 2: 136:217051

L6 ANSWER 5 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-10-7 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H46 N6 O8
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

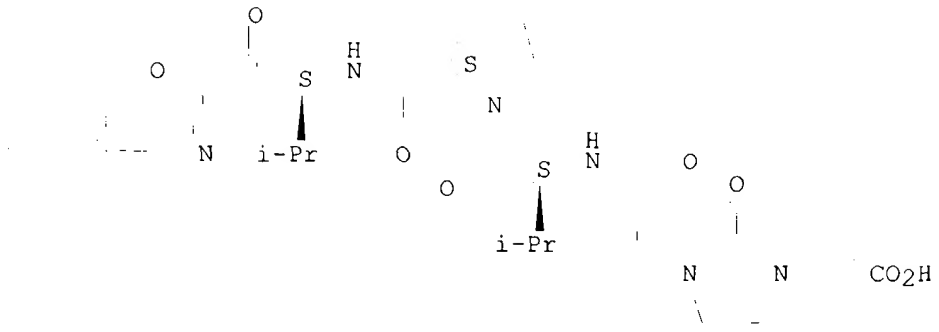
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 6 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-09-4 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H38 N6 O8
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

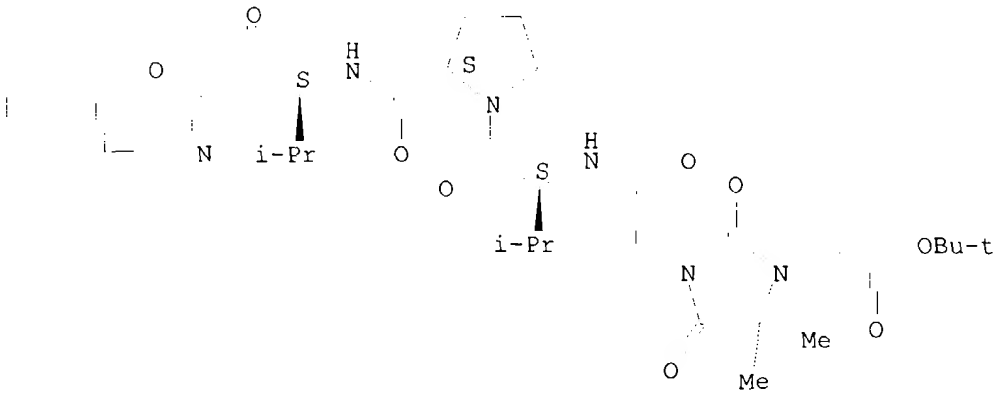
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 7 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-08-3 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-4,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H48 N6 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

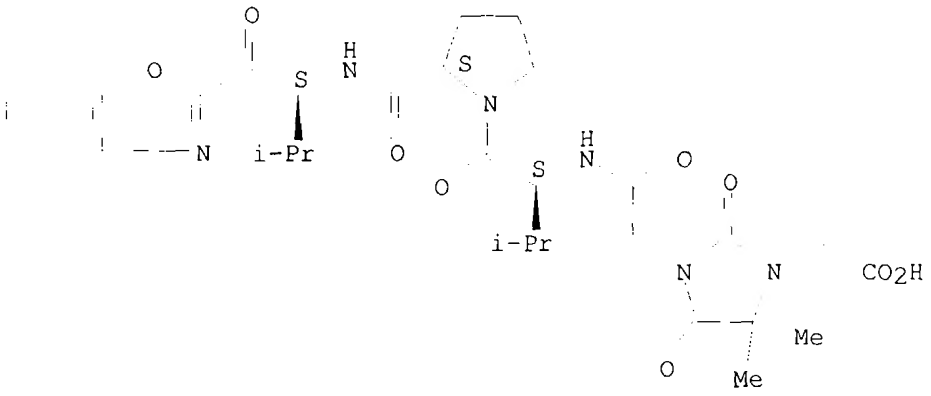
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 8 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-07-2 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-4,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H40 N6 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

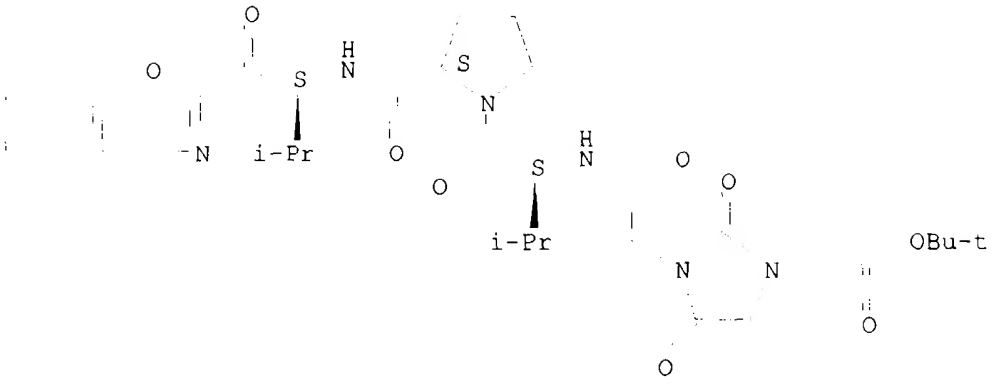
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 9 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-02-7 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H44 N6 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

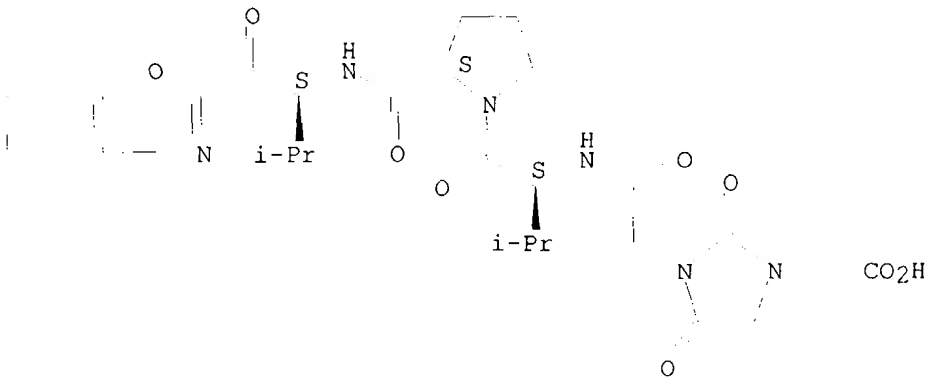
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 10 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-01-6 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H36 N6 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

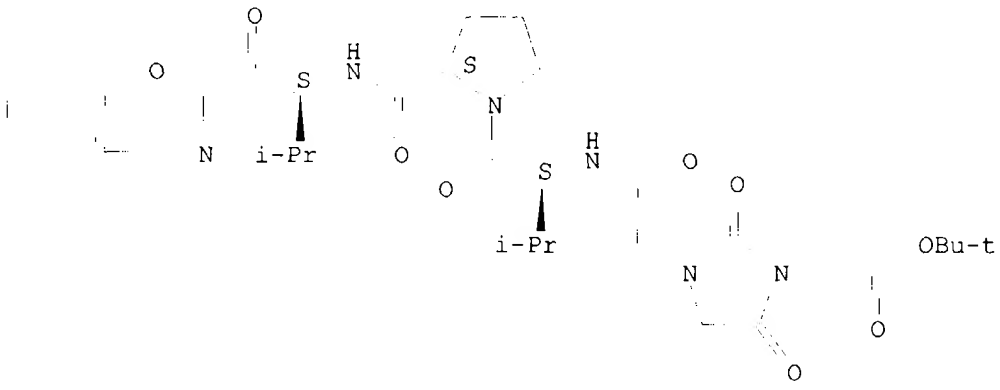
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 11 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291779-00-5 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2,4-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H44 N6 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

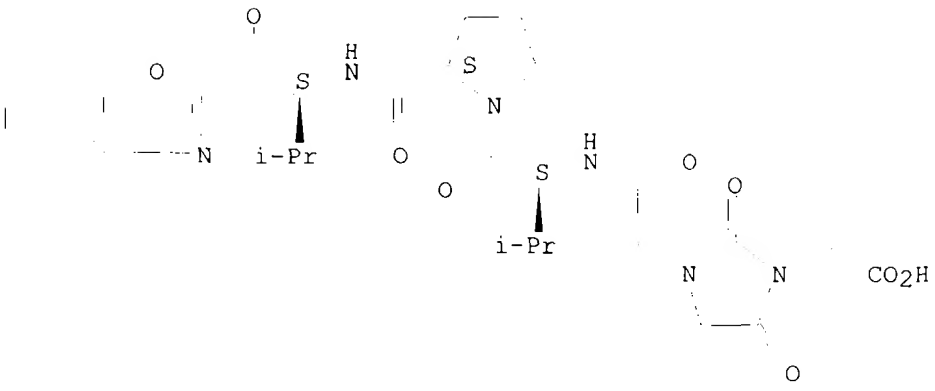
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 12 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291778-99-9 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2,4-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-1-(2-benzoxazolylcarbonyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H36 N6 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

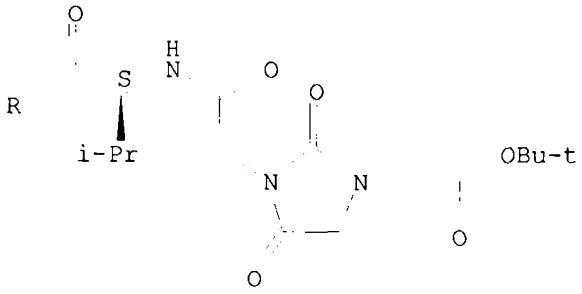
REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

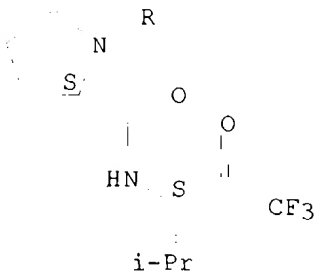
L6 ANSWER 13 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291778-88-6 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H40 F3 N5 O8
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

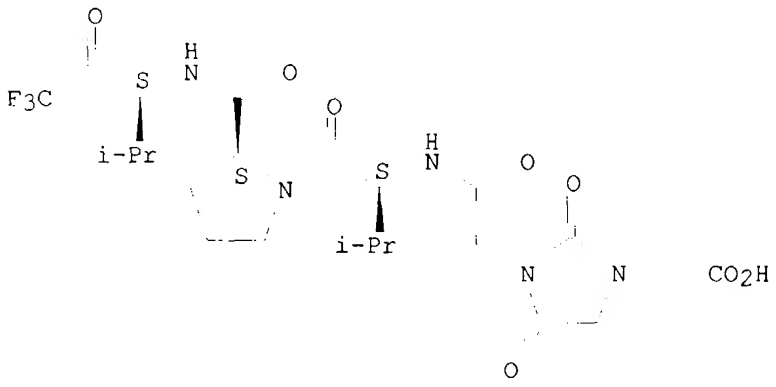
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 14 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291778-87-5 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H32 F3 N5 O8
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

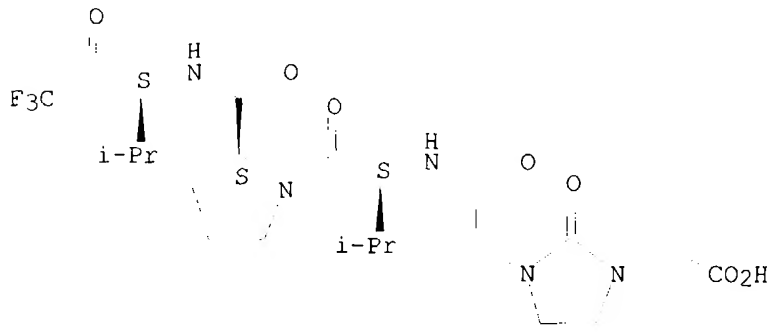
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 15 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291778-77-3 REGISTRY
CN L-Prolinamide, N-[[3-(carboxymethyl)-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H34 F3 N5 O7
SR CA
LC STN Files: CA, CAPLUS, DRUGNL, DRUGUPDATES, SYNTHLINE, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

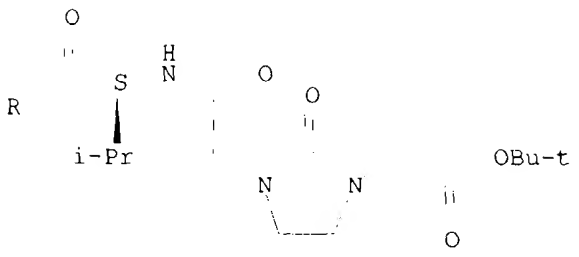
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

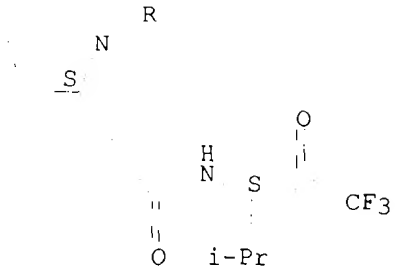
REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

L6 ANSWER 16 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 291778-76-2 REGISTRY
CN L-Prolinamide, N-[[3-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-oxo-1-imidazolidinyl]acetyl]-L-valyl-N-[(1S)-3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H42 F3 N5 O7
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:369997

REFERENCE 2: 133:223051

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 – Circ. Desk